from catalyst (S)-1

R³³

...._E

....<u>6</u>

THF, acid (PPh₃)₄Pd, thiosalicylic R = Allyl 11 or 12 or 13 R = H-7 or 8 or 9

Figure 2

Figure 3

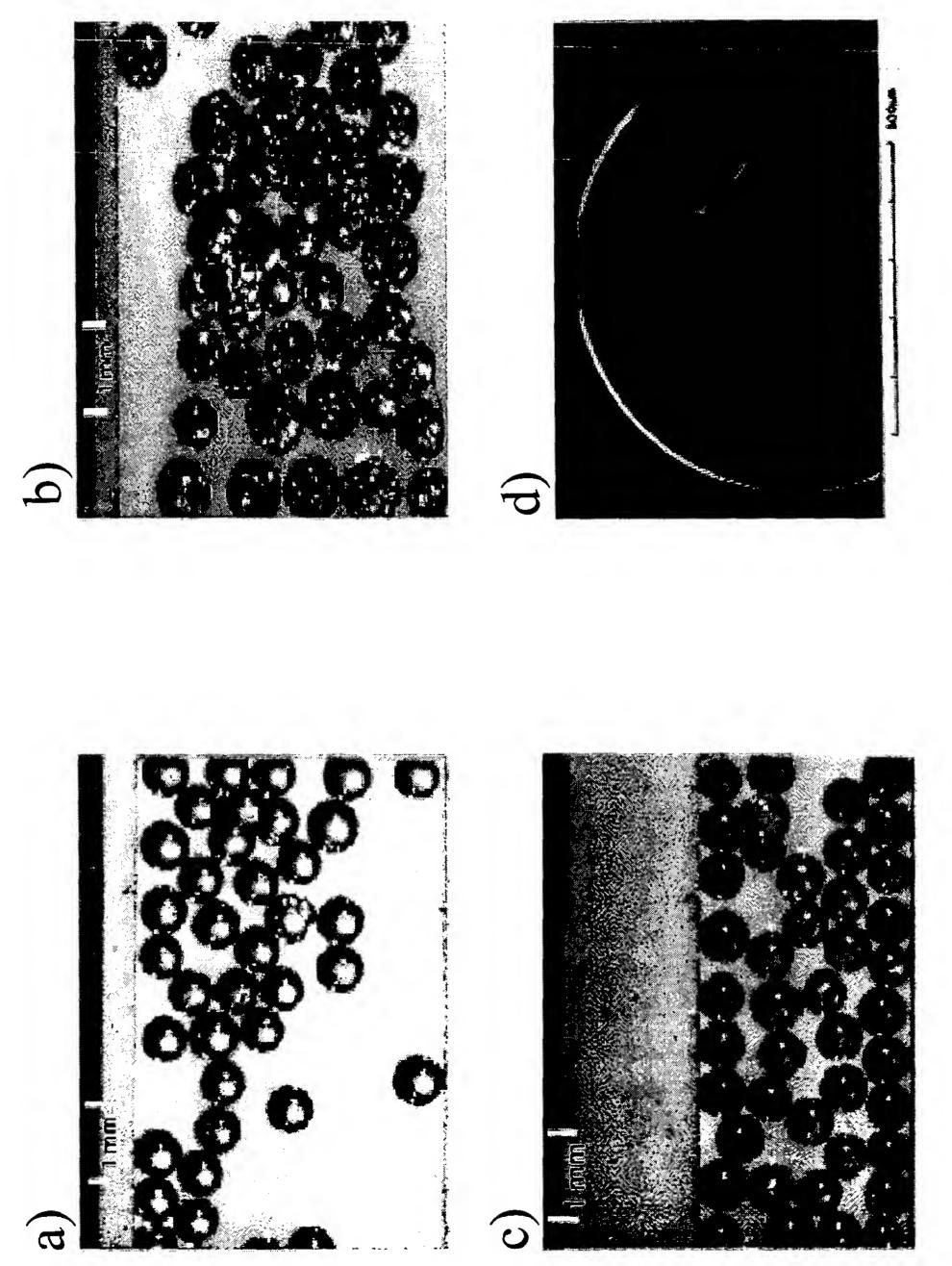


Figure 4

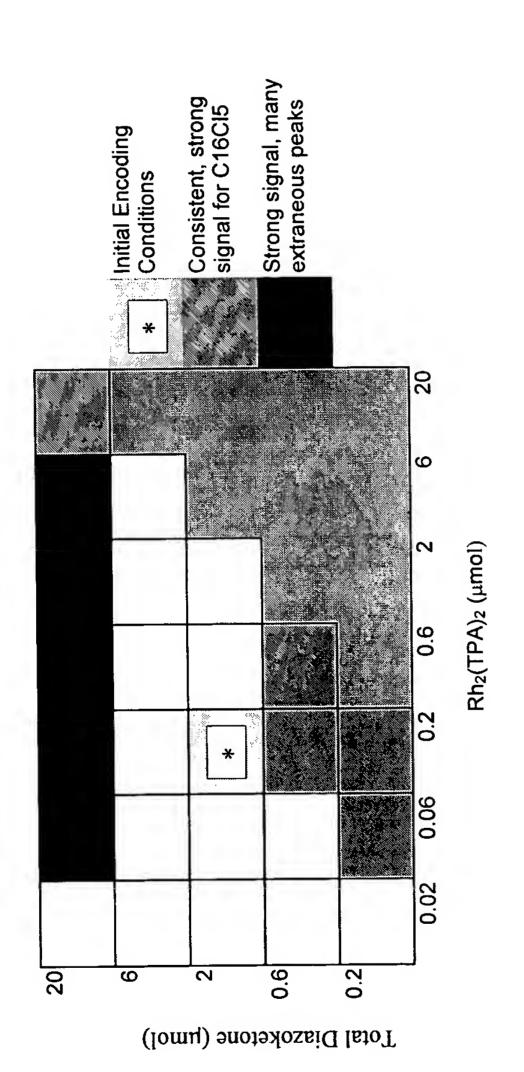
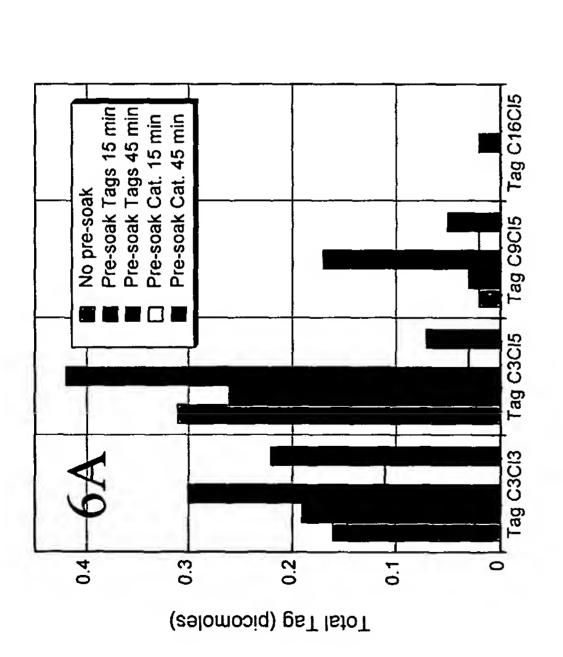
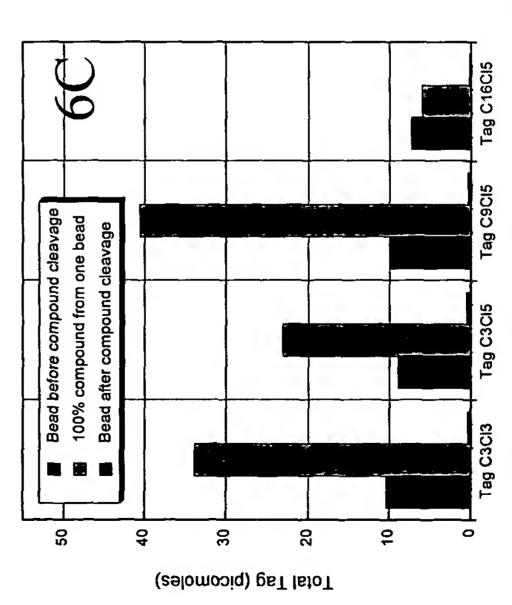


Figure 5





40 min 2 hours 8 hours 21 hours

0.5

0.4

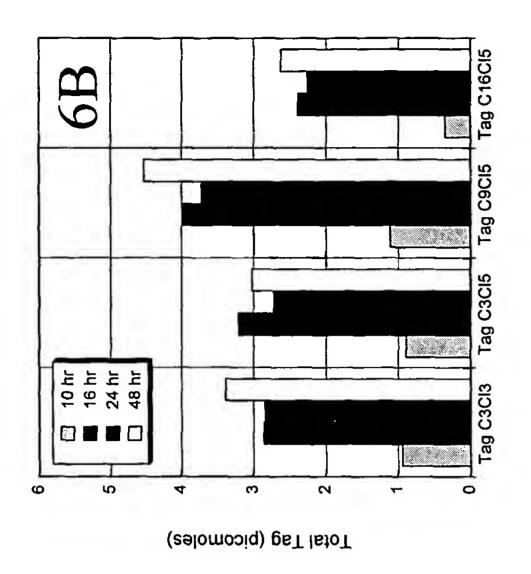
0.3

Total Tag (picomoles)

0.2

0.1

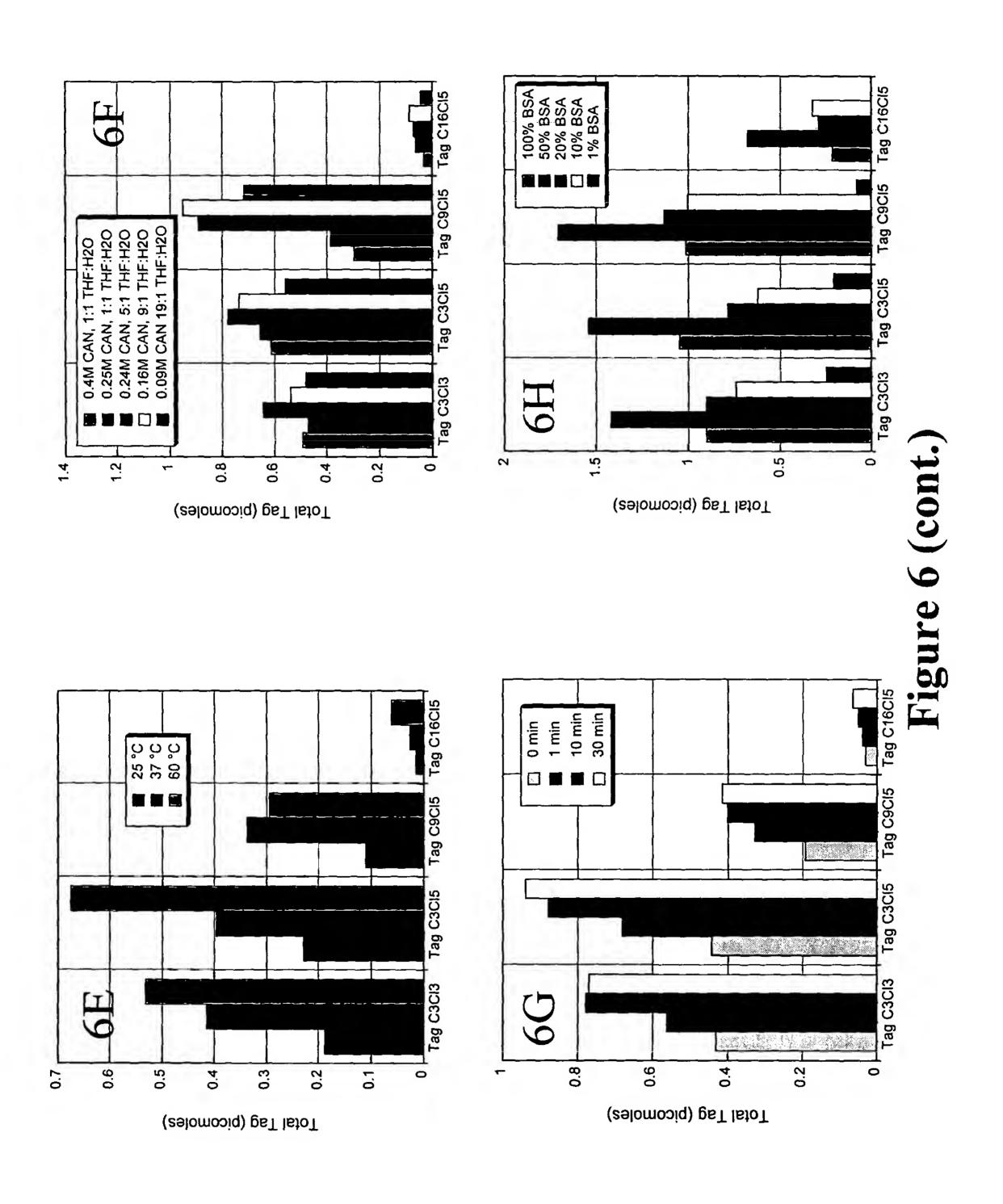
9.0



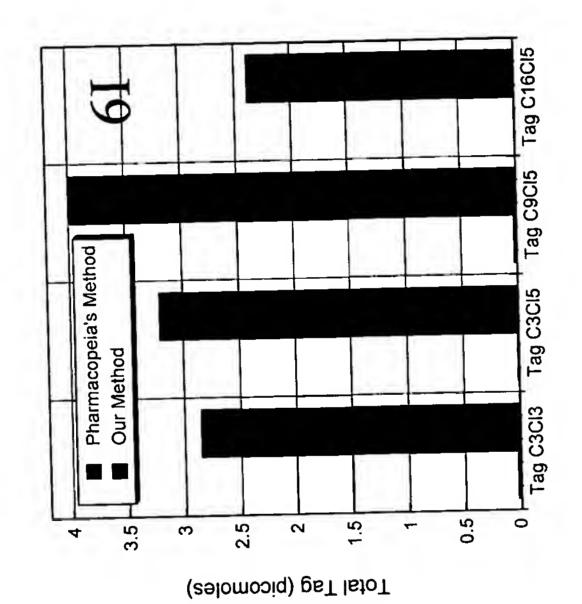


Tag C16CI5

Figure 6







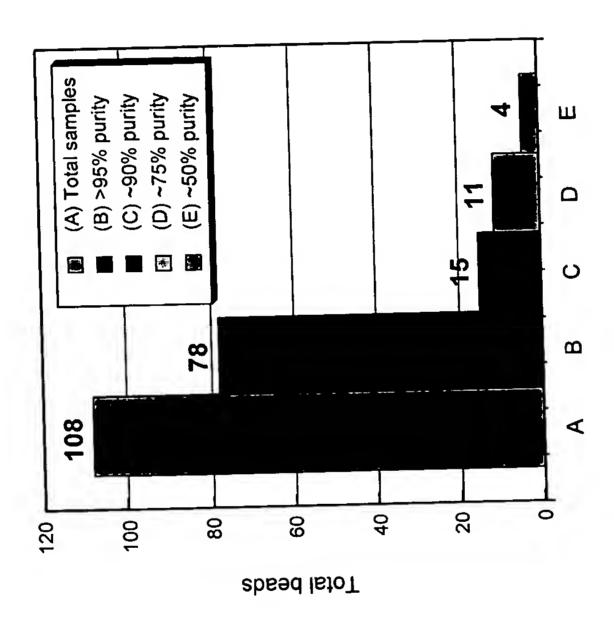


Figure 7

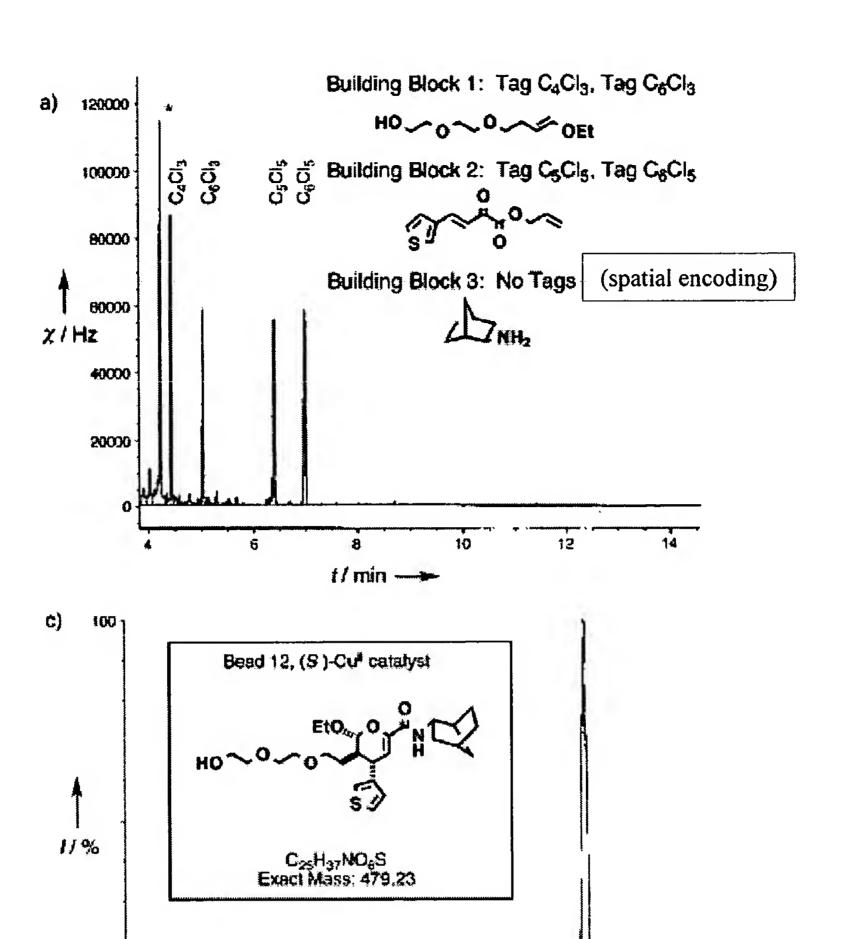
Figure 8A

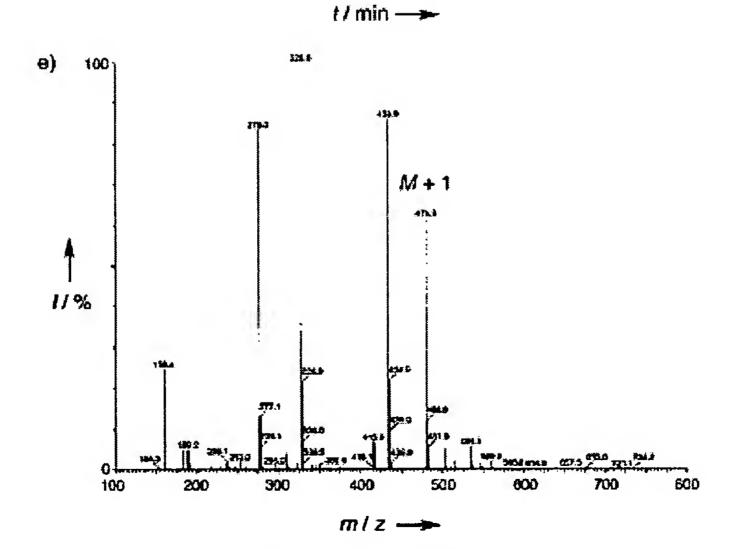
Figure 8B

Figure 8C

Figure

8





8.00

8.00

4.00

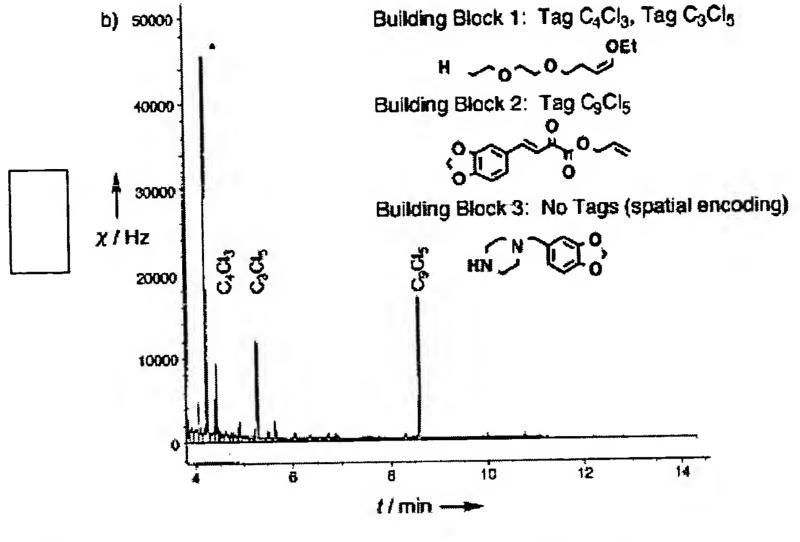
2.00

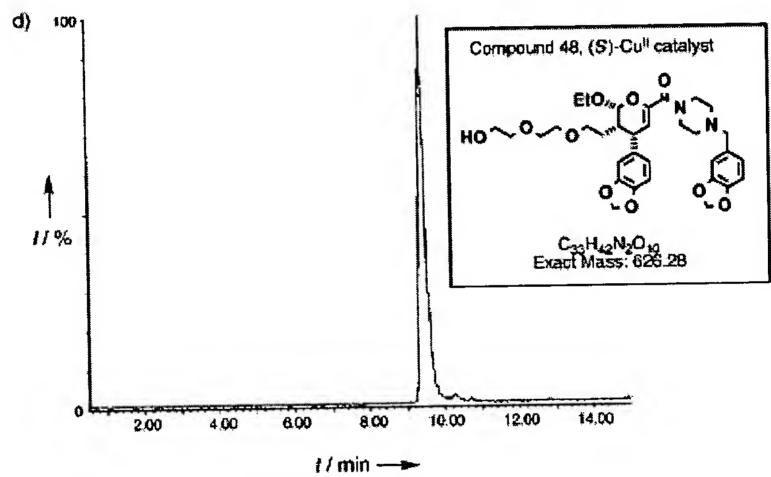
10.00

12.00

14.00

Figure 9A





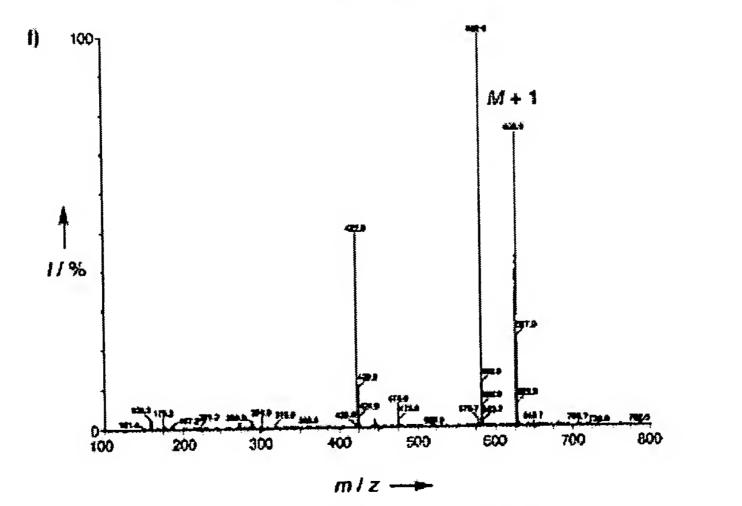


Figure 9B

Figure 10

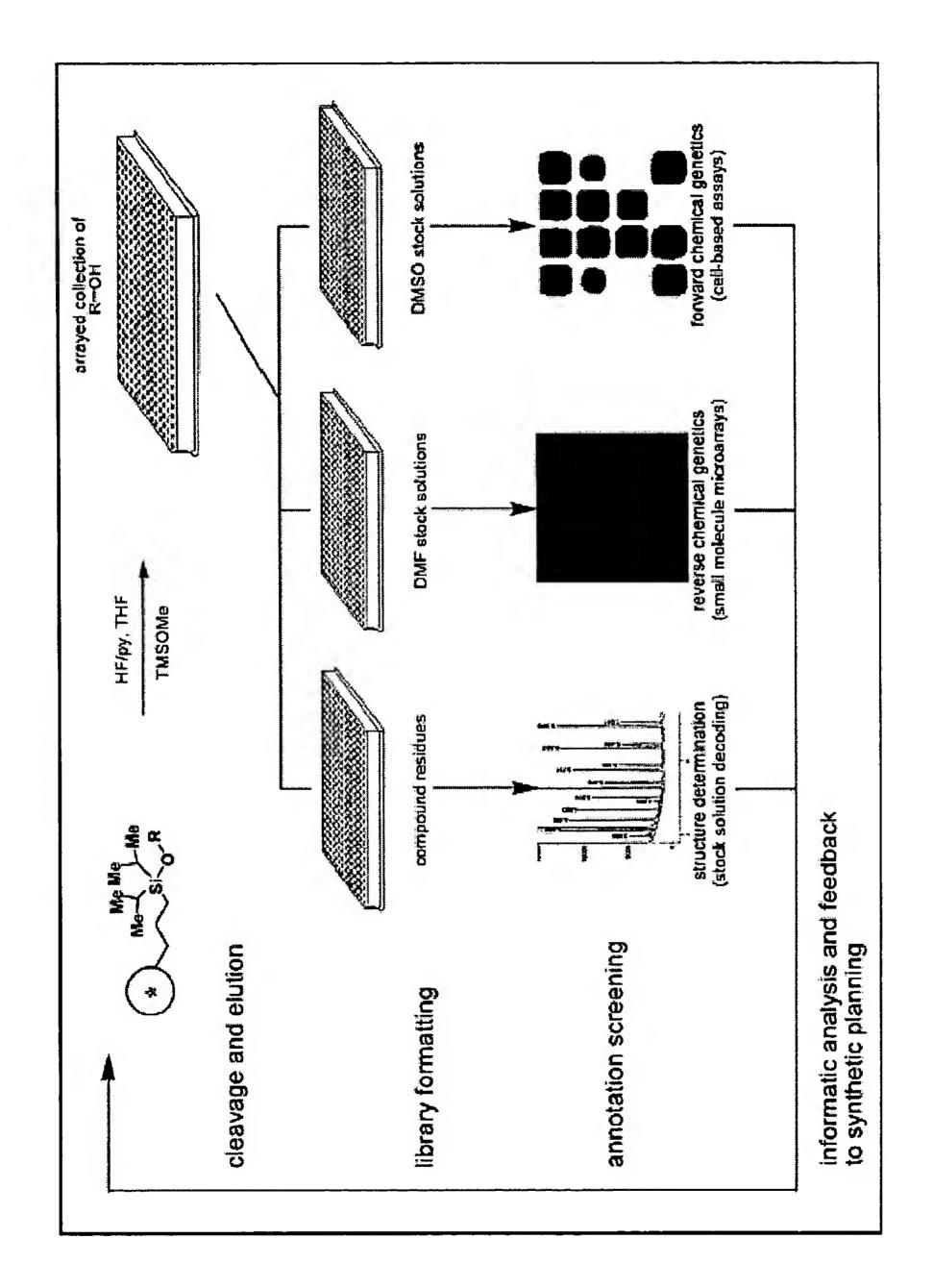


Figure 11

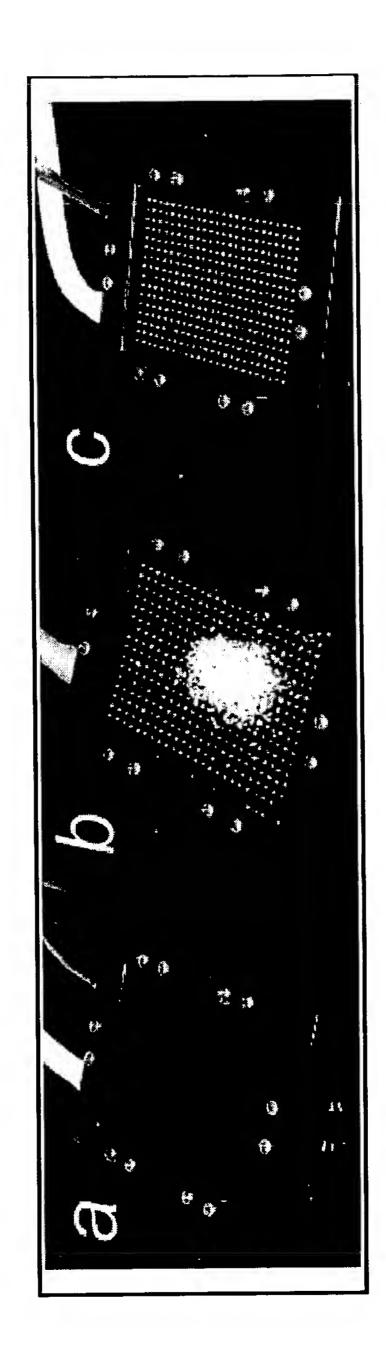


Figure 12

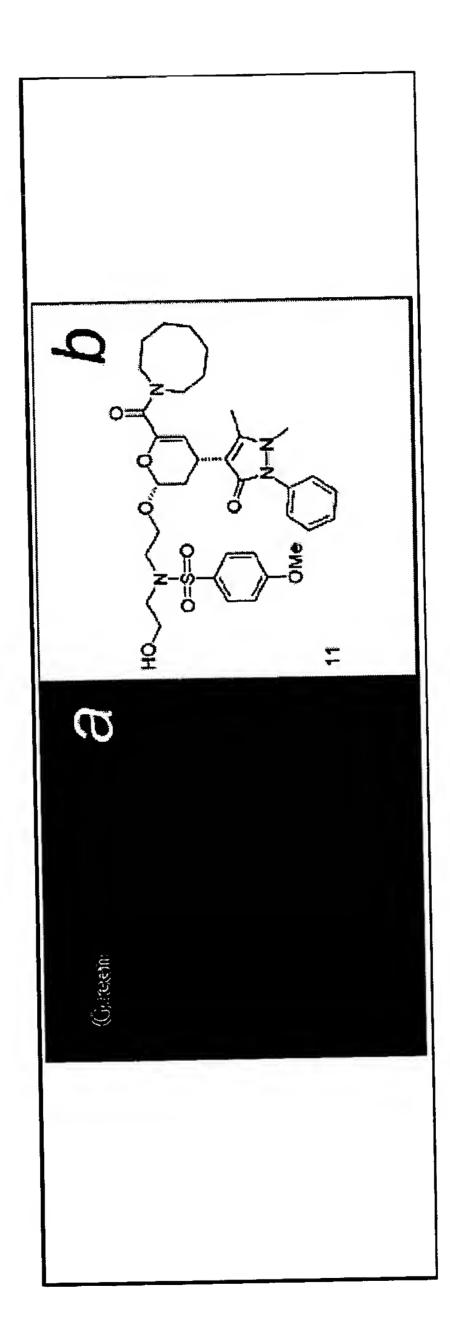


Figure 13

Figure 14

Ki > 100 µM Inhibition of ATP hydrolysis 100 200 300 400 500 (R) or (S) compound (µM) (S)**0**□ 100 200 300 400 500 600 700 (R) or (S) enantiomer (µM) R. -0-Inhibition of Eg5 by PL_360 H13 Inhibition of Eg5 by PL_362 K16 by Eg5 in vitro $Ki = 1.9 \, \mu M$ Eg5 ATPase activity (normalized) Eg5 ATPase activity (normalized) (R Effect on BS-C-1 cells (S)1 mM lot Eg5 inhibitor Mono-asters Induces MT phenotype (NOT mono-asters) Induces 60 µM \Re _362 K16 -(R)- active Stavenger PL_360 H13 (unpublished) Stavenger PL

 $Ki = 80 \, \mu M$

 $Ki = 200 \, \mu M$

100 µM

No effect

(unpublished)

Figure 15

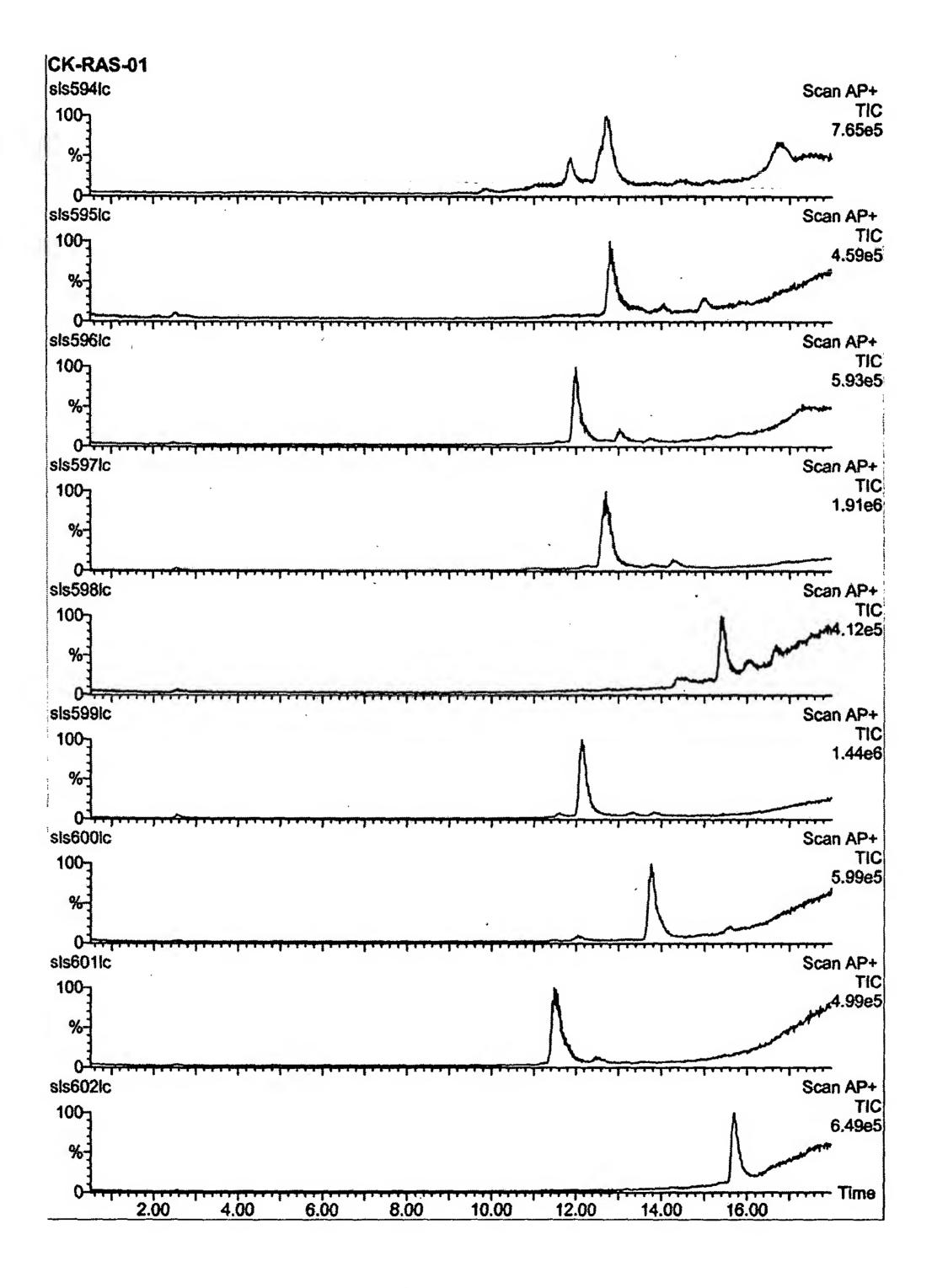


Figure 16A

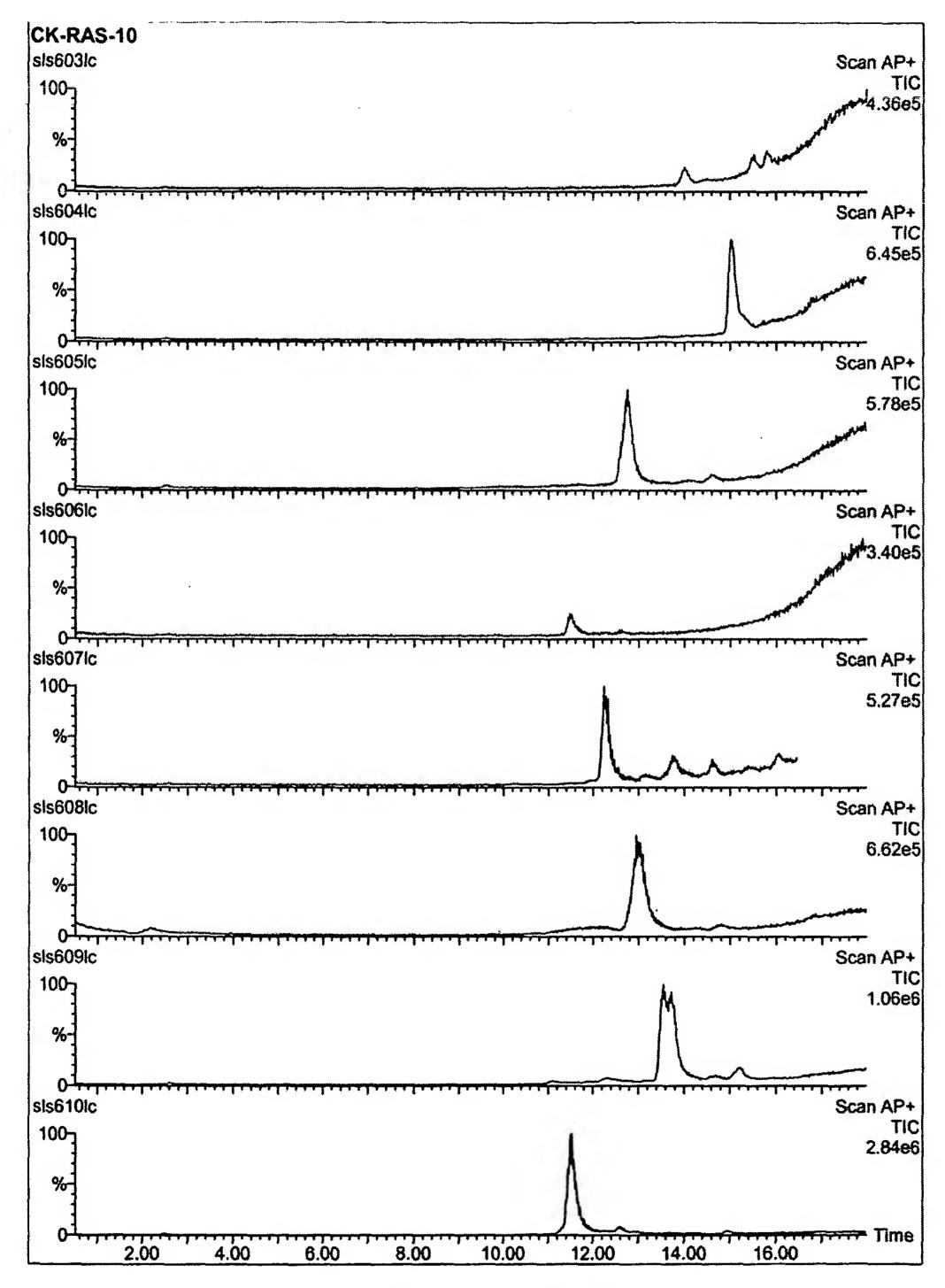


Figure 16B

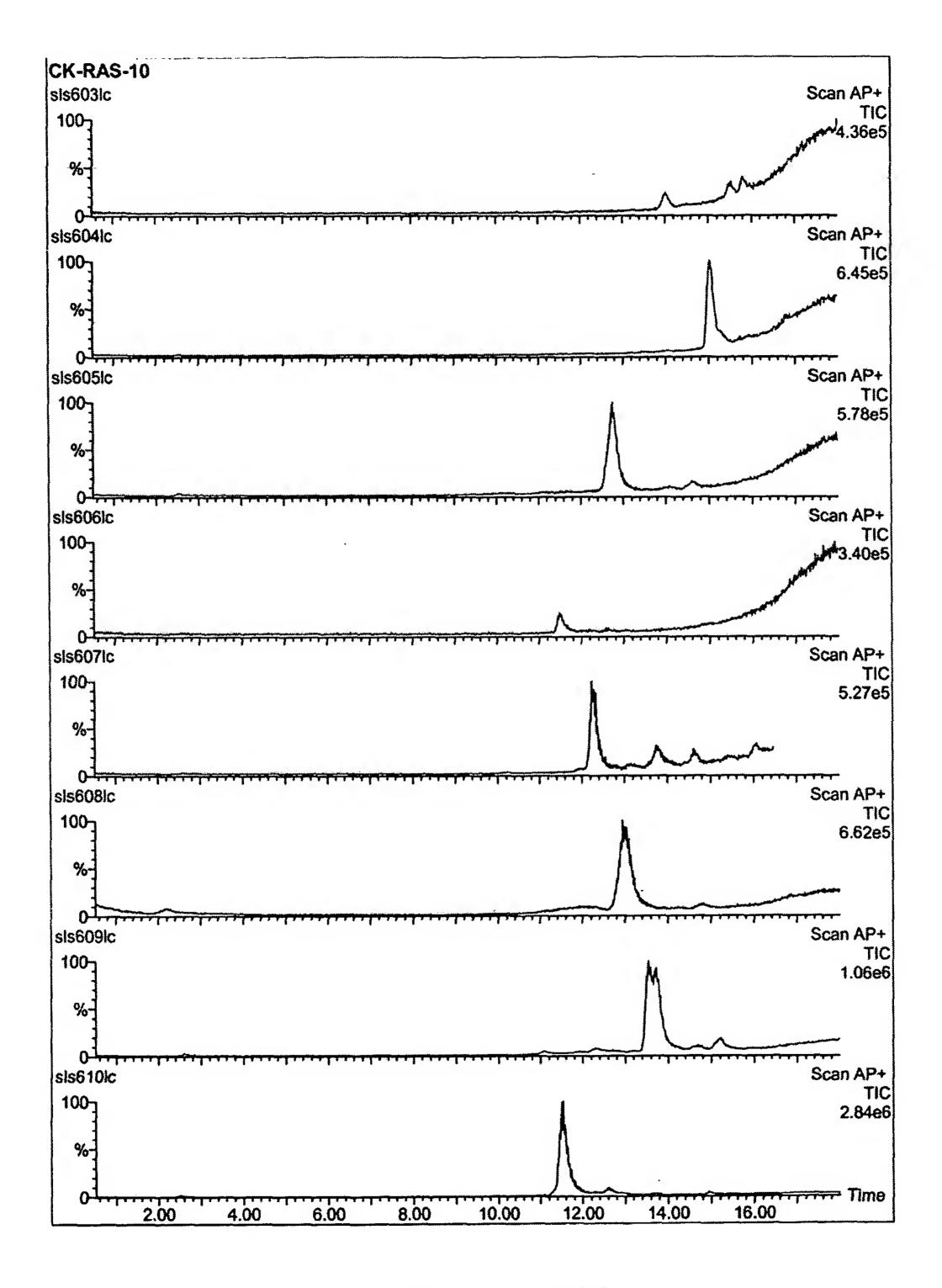


Figure 16C

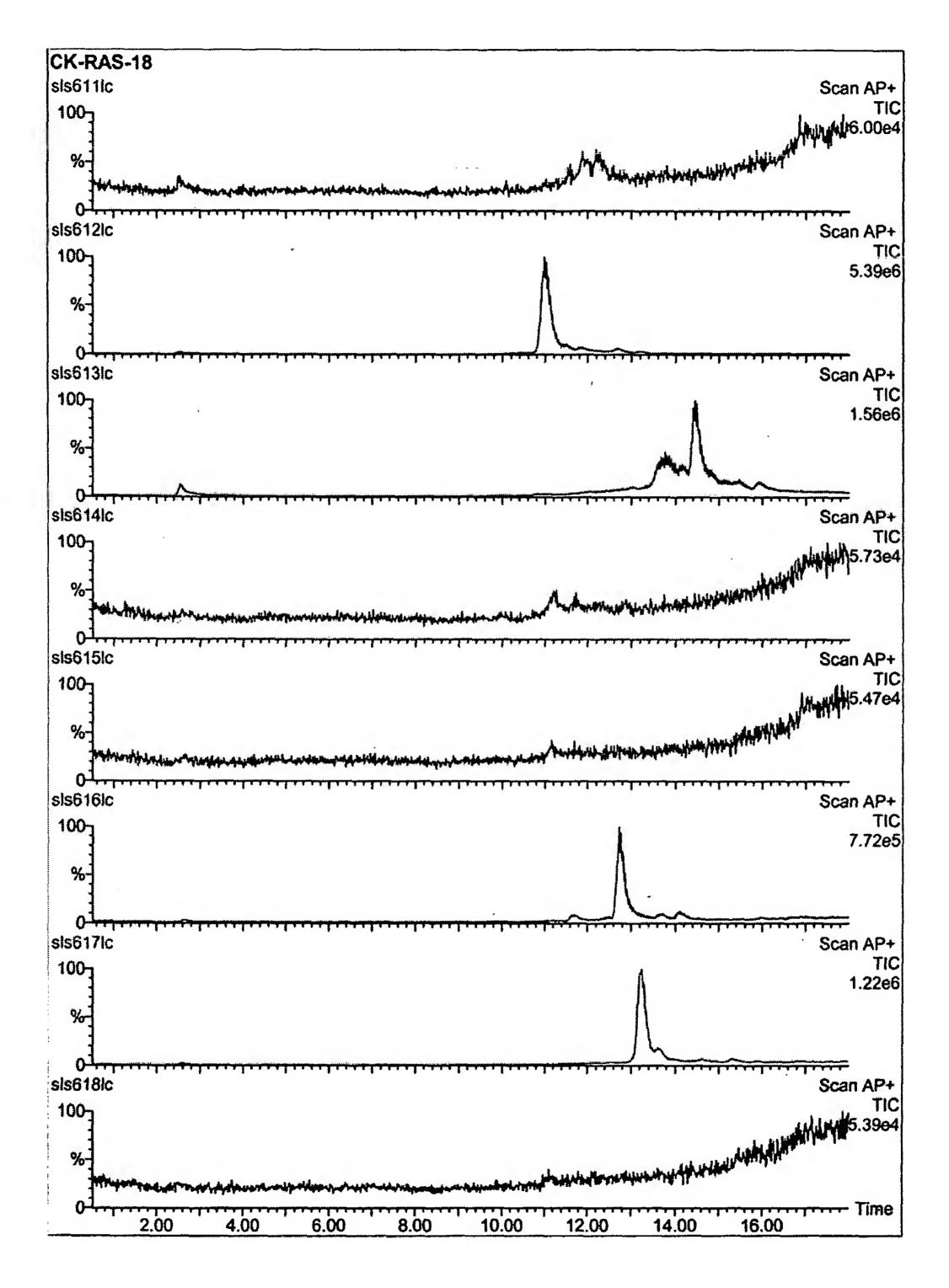


Figure 16D

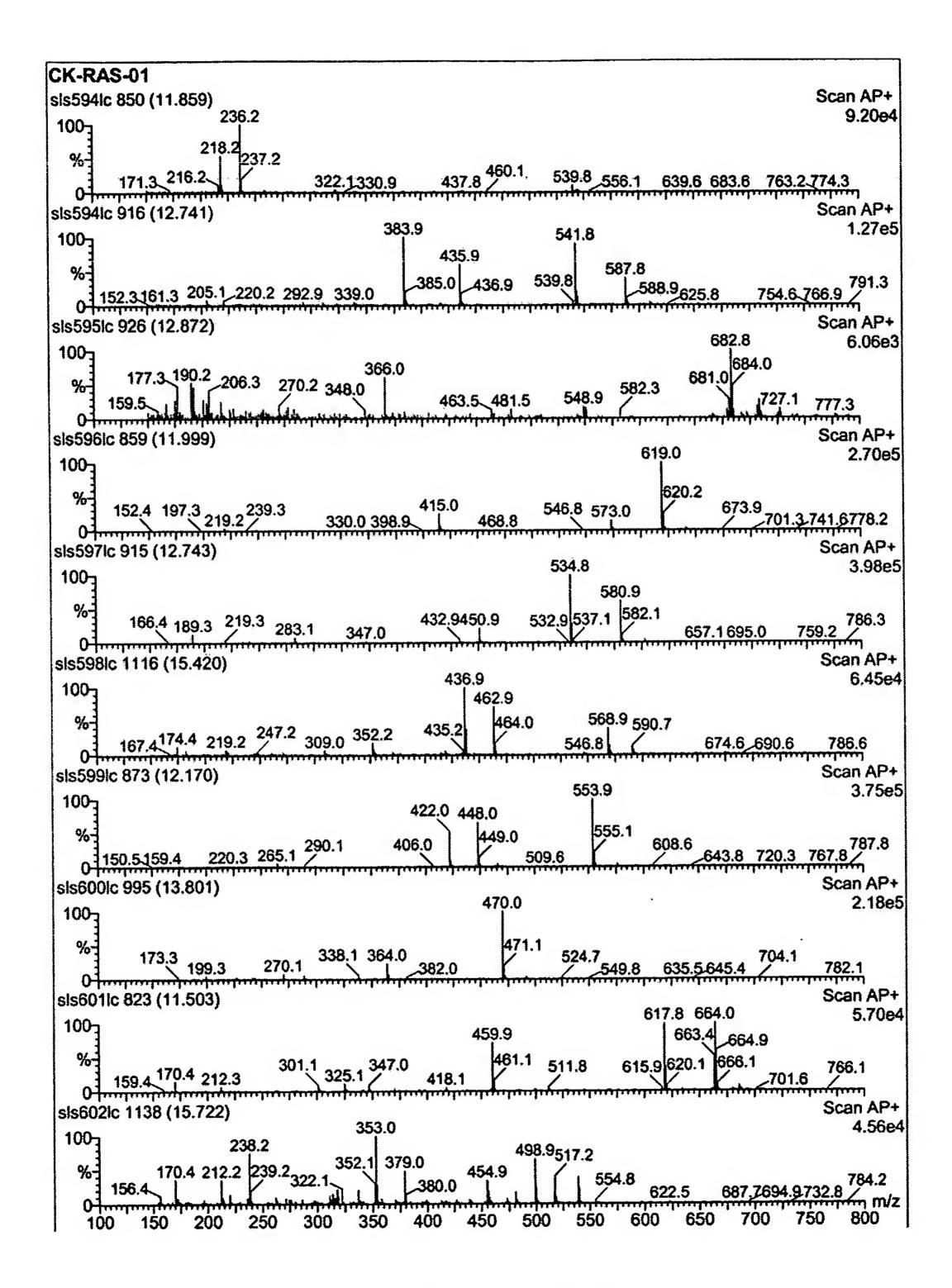


Figure 17A

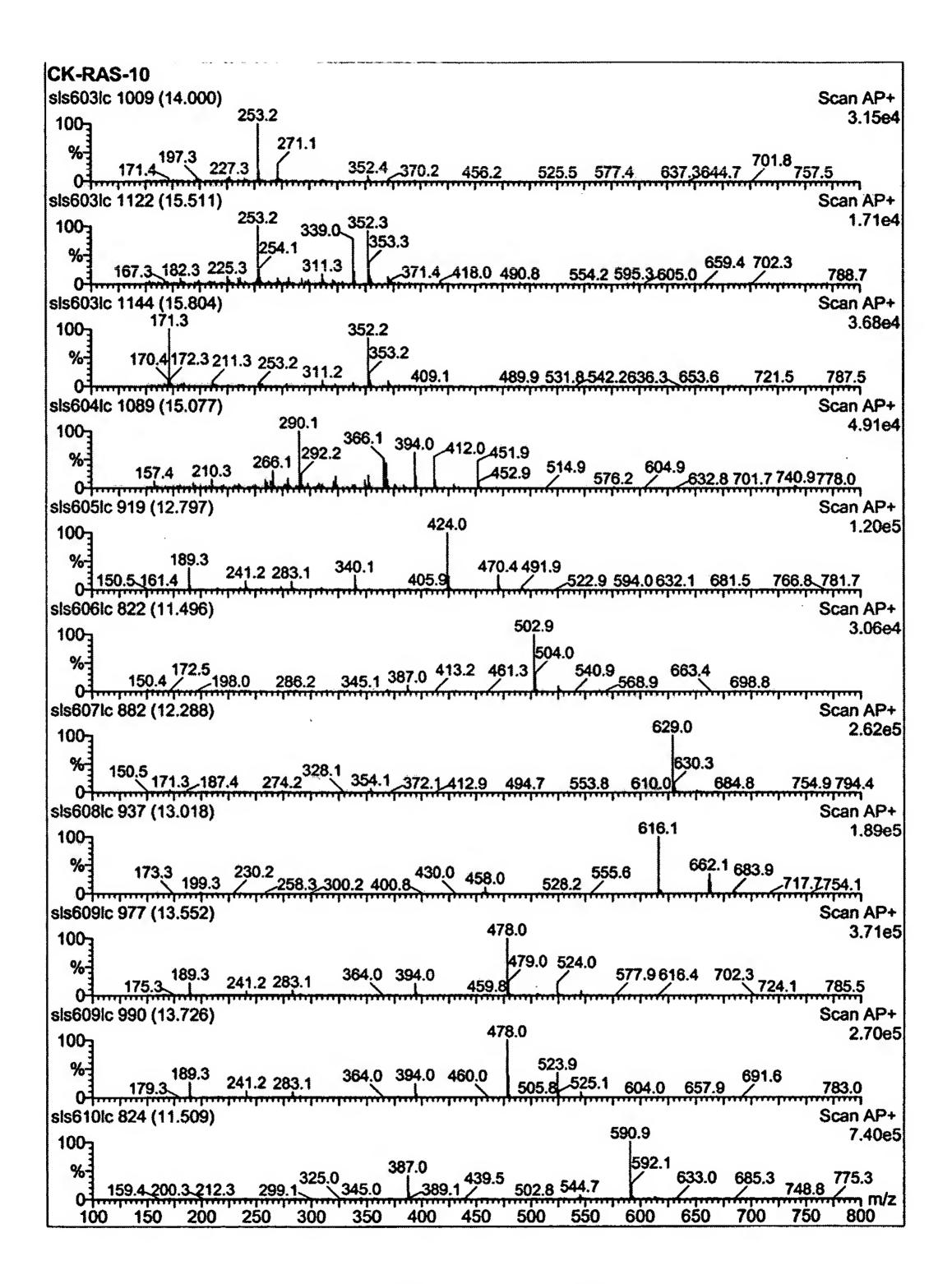


Figure 17B

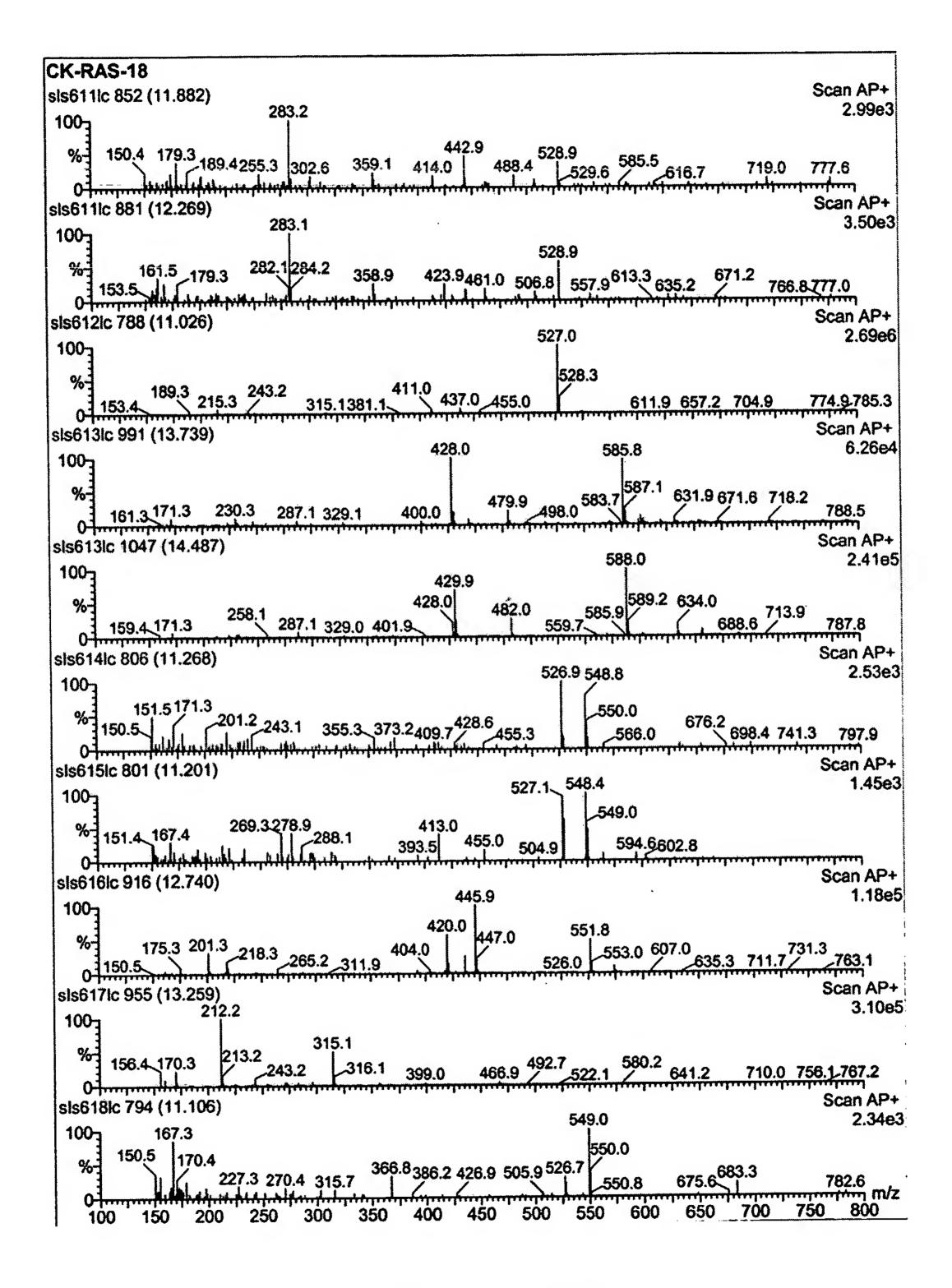


Figure 17C

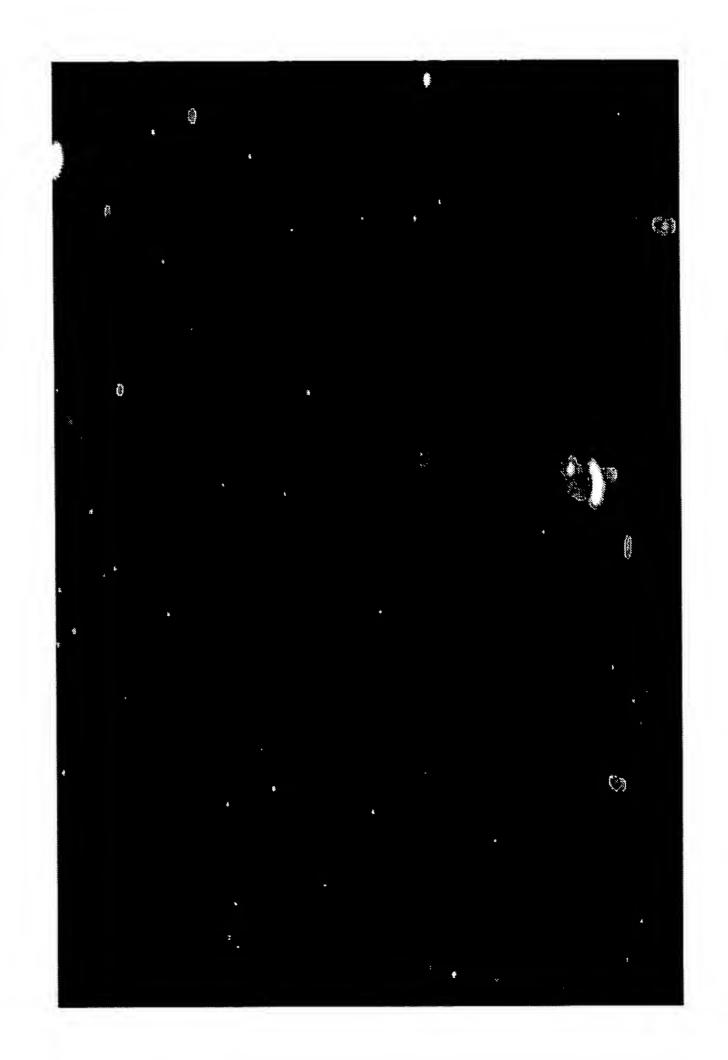


Figure 18A

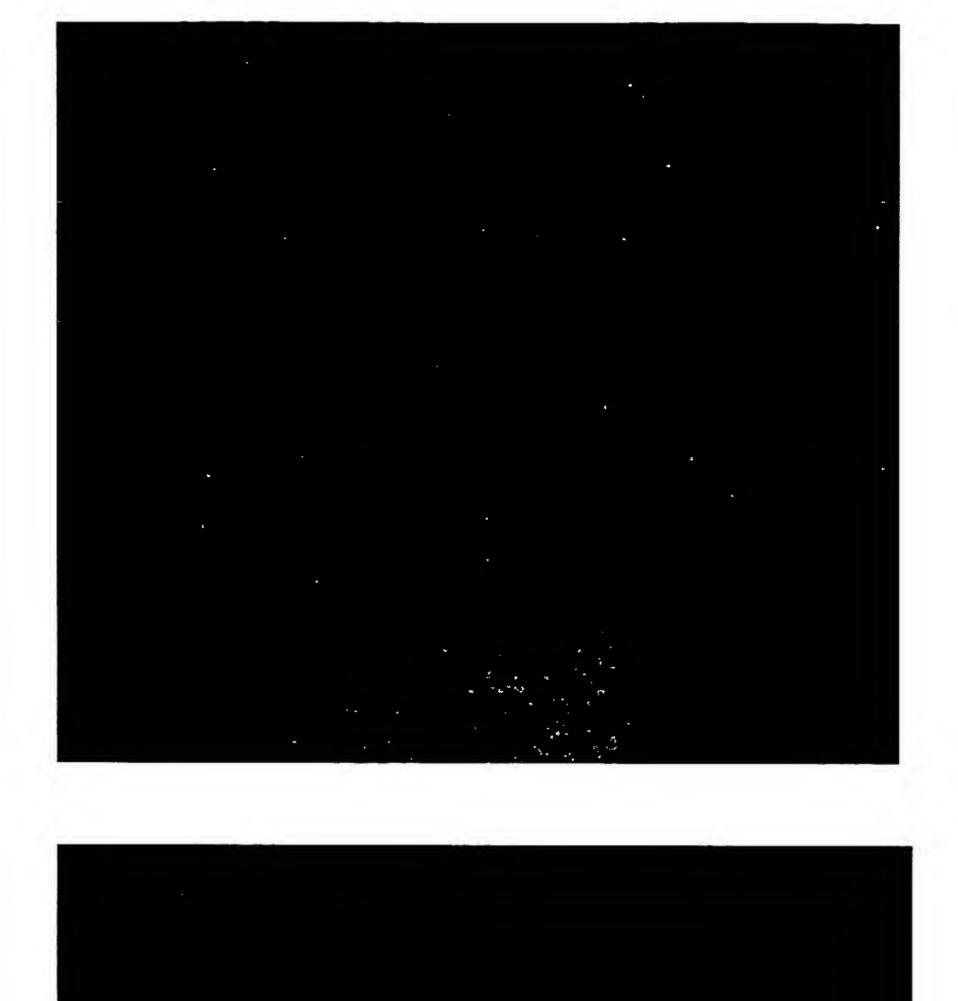


Figure 18B

Figure 18C

Figure 18D

Figure 18E

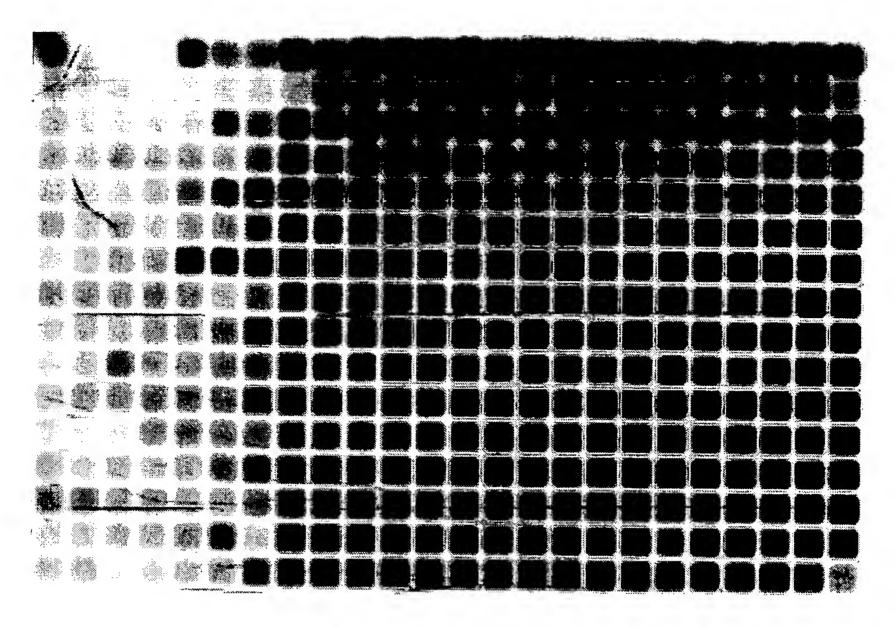


Figure 19A

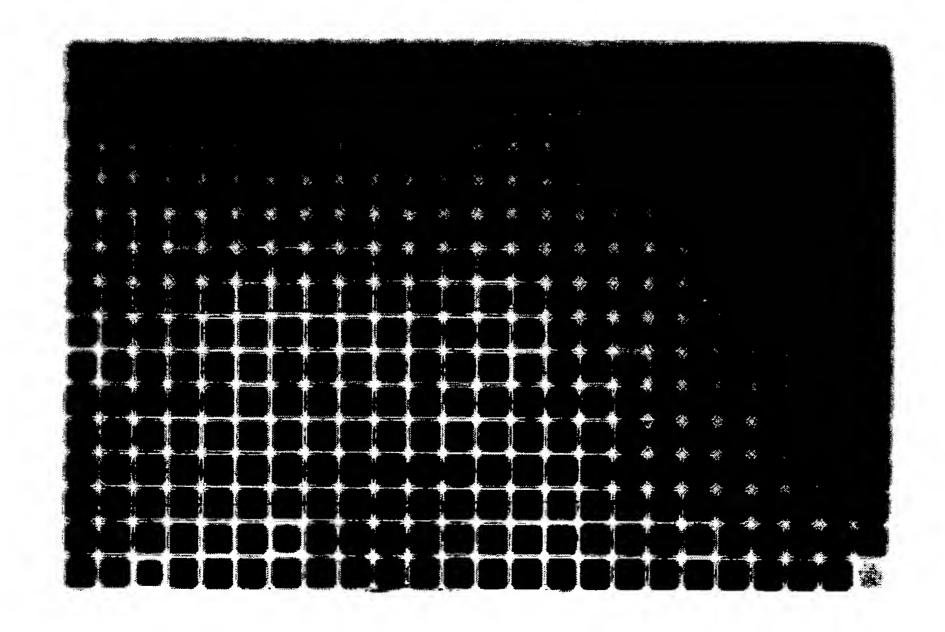


Figure 19B

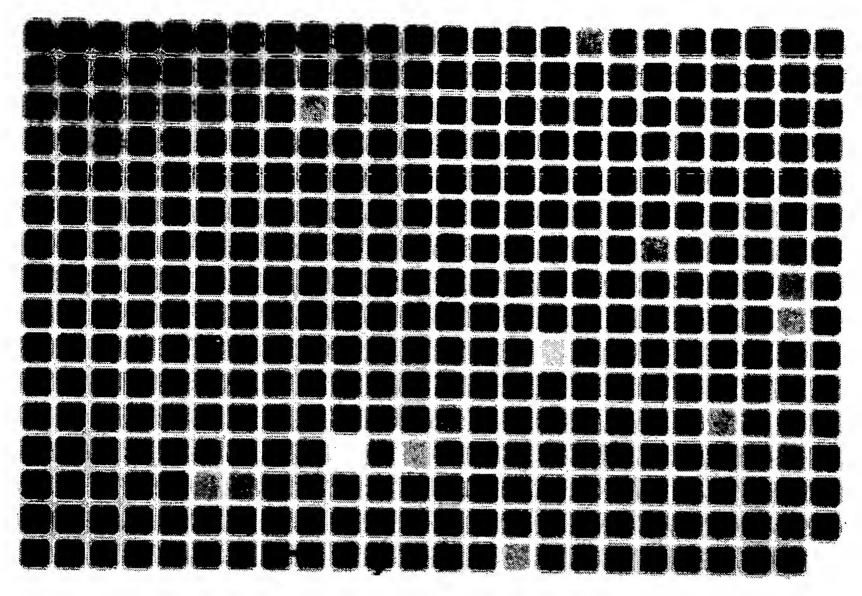


Figure 19C

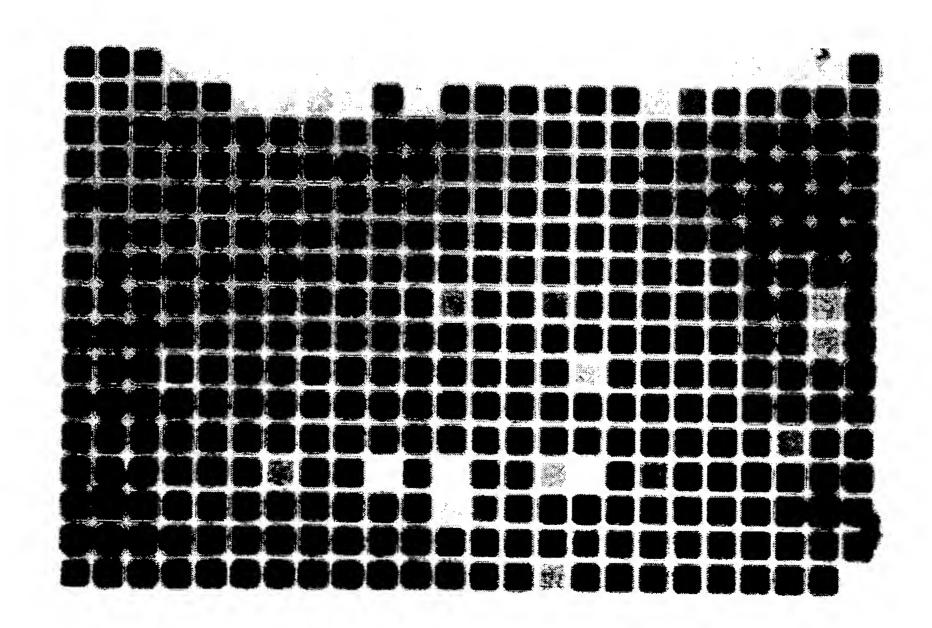


Figure 19D

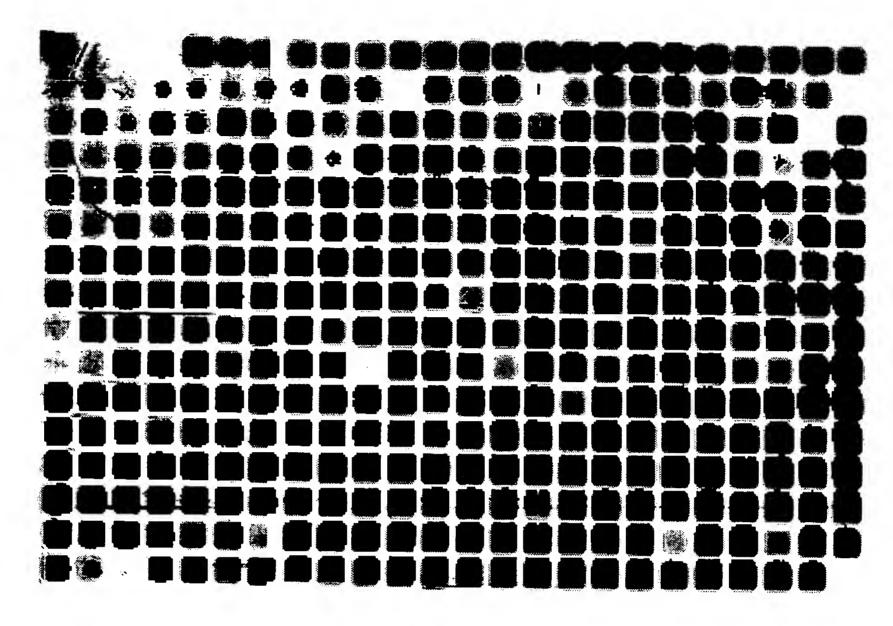


Figure 19E

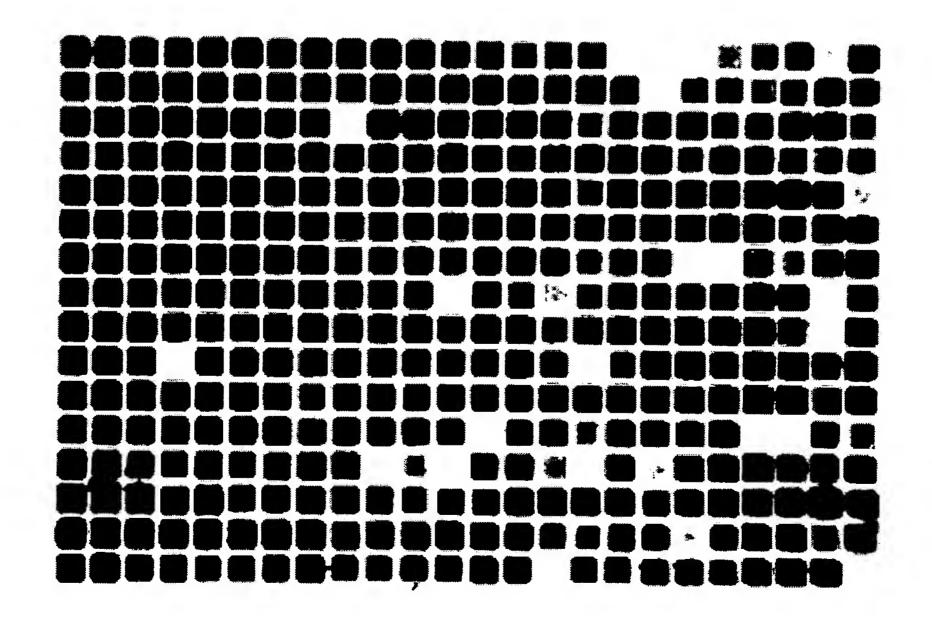
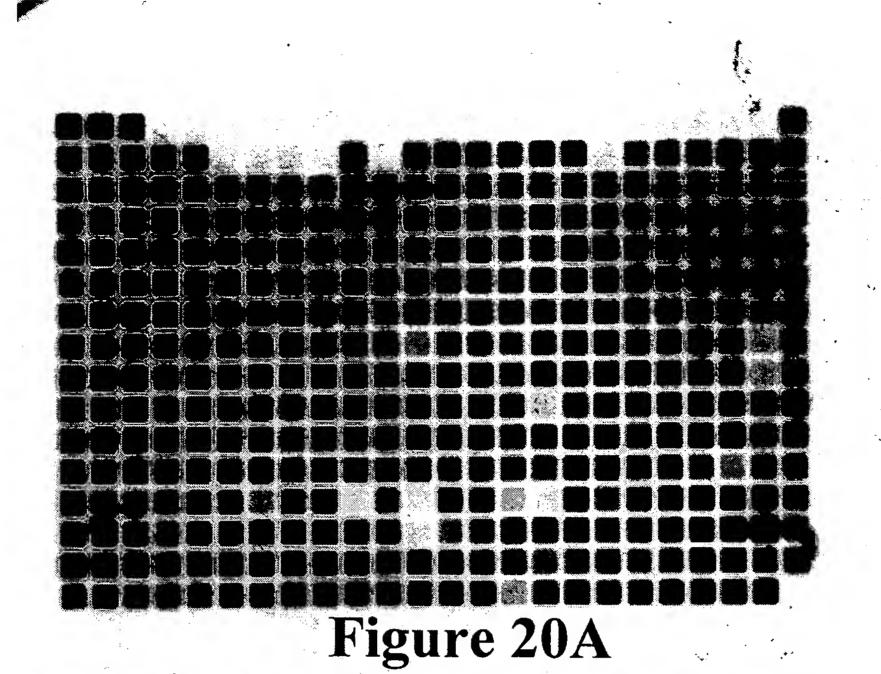
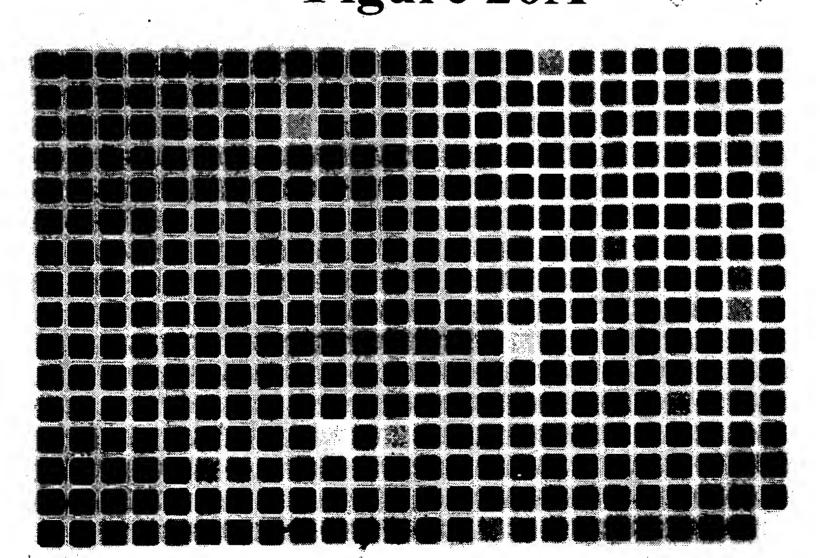


Figure 19F





10%FBS

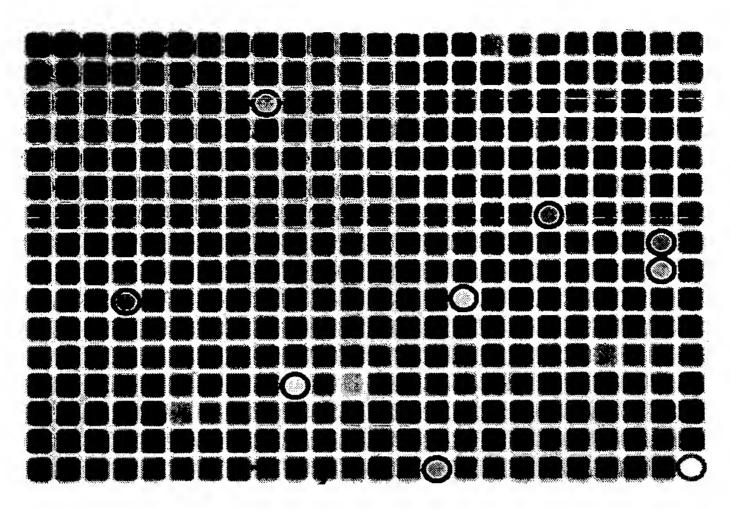
Figure 20B

200mM genestein

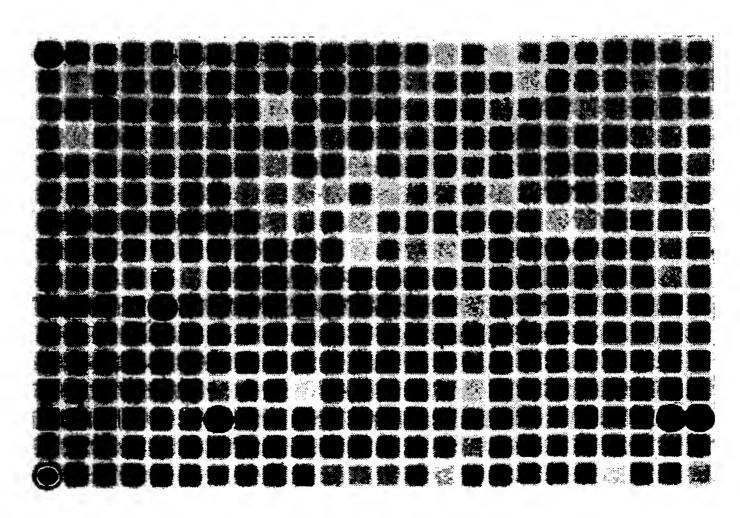
Screen of RAS-384 for Inhibitors of BrdU Incorporation

5 pinnings/cmpd (250-500nL; 25-50uM) 16-hr incubation with 1%FBS Probed with 1:1000 &BrdU

5-min exposure



Inhibition of BrdU Incorporation Figure 21A



Suppression of Genistein
Antiproliferative Effects
Figure 21B

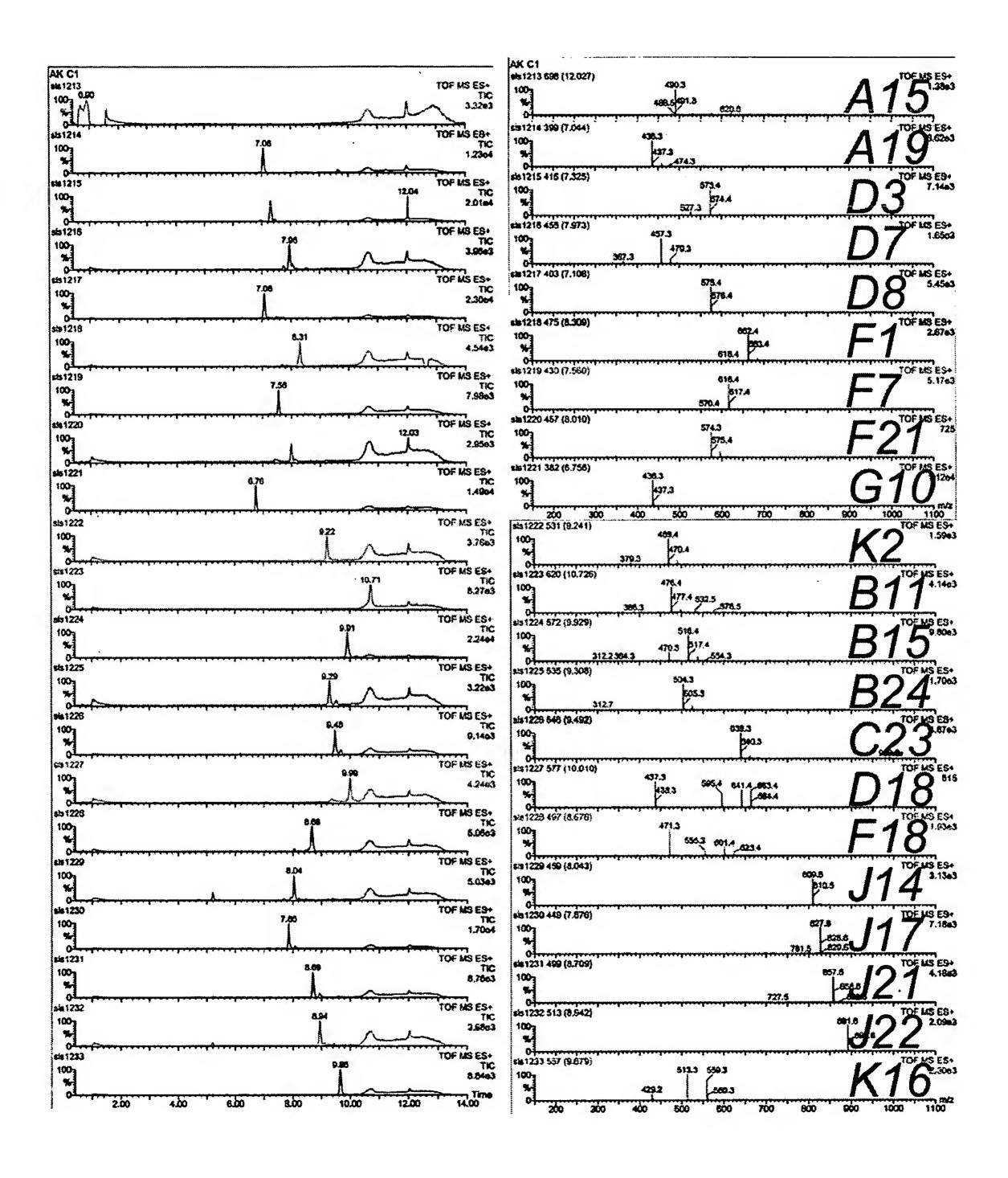
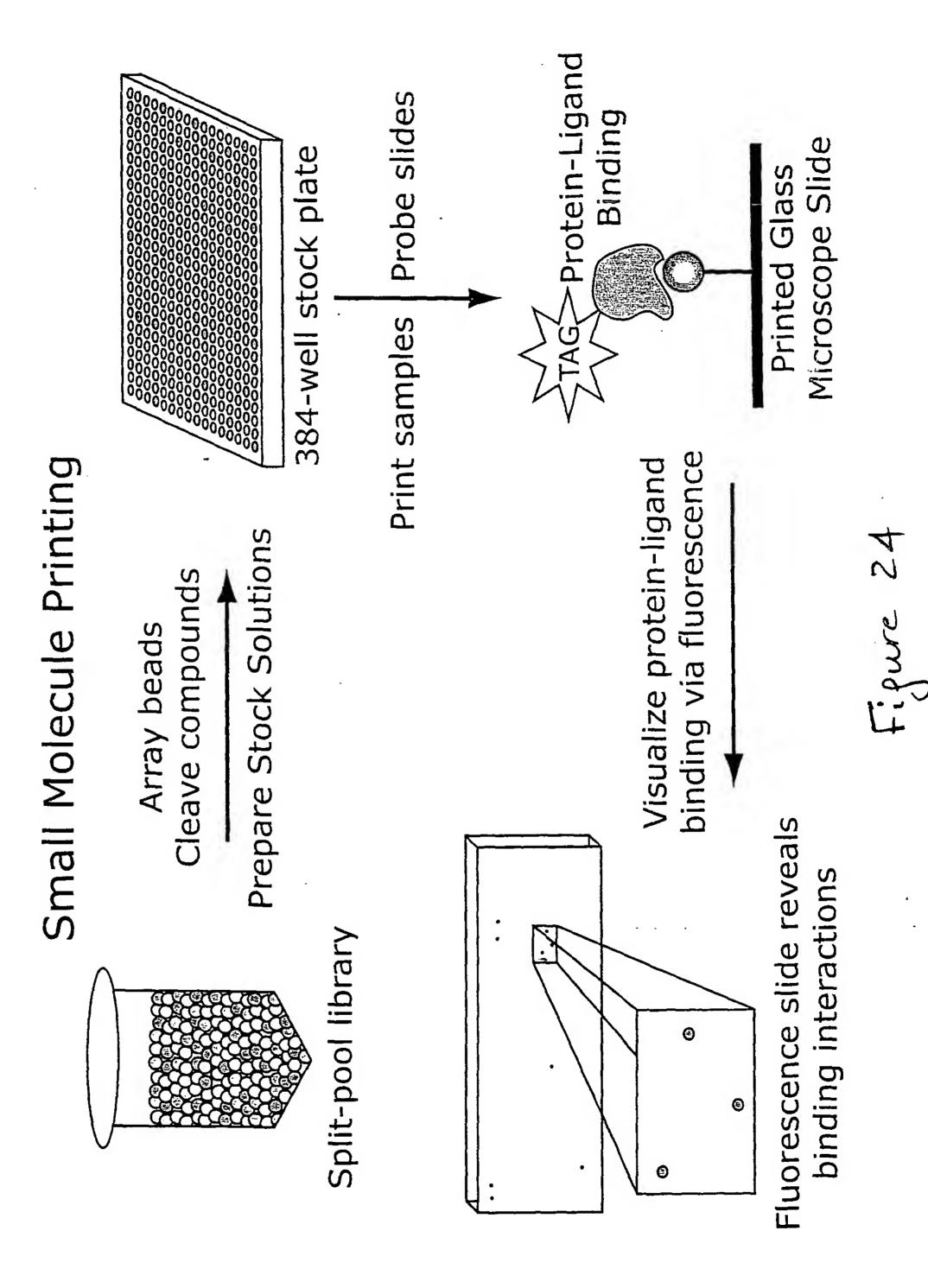


Figure 22

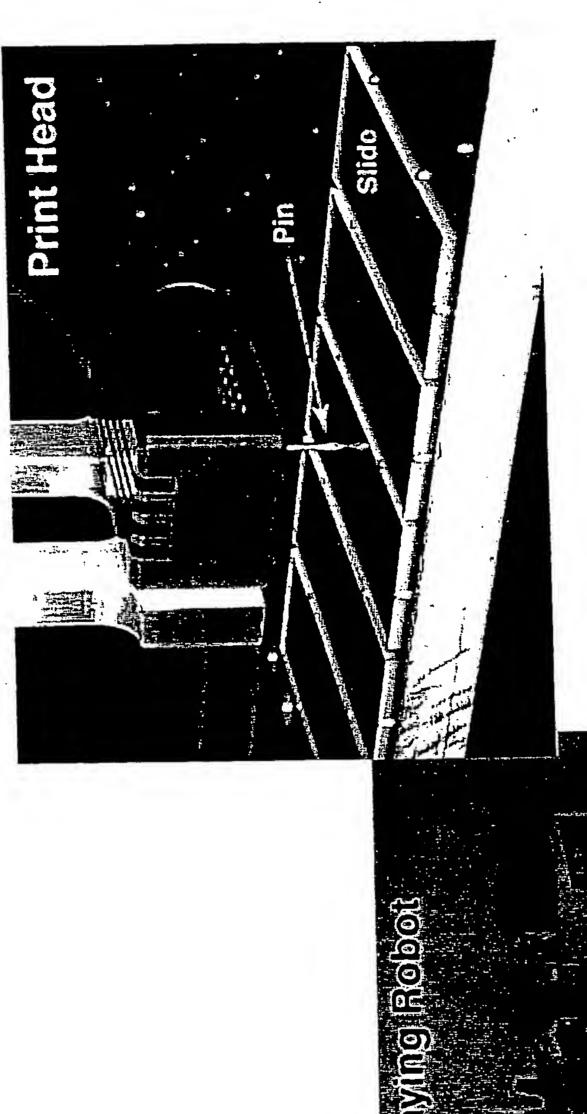
Rotating Vacuum Pin Array

Rotating Vacuum
Cups for Lid
Removal

Figure 23



Small Molecule Microarraying Robot



(built by Jeff Tong and James Hardwick)

de platform Is 137 slides) Figure 25